

09/ 755,021

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:36:44 ON 05 MAY 2005

=> file reg

COST IN U.S. DOLLARS

| SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|------------------|
| 0.21 | 0.21 |

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 16:37:22 ON 05 MAY 2005
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 4 MAY 2005 HIGHEST RN 849790-35-8
DICTIONARY FILE UPDATES: 4 MAY 2005 HIGHEST RN 849790-35-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

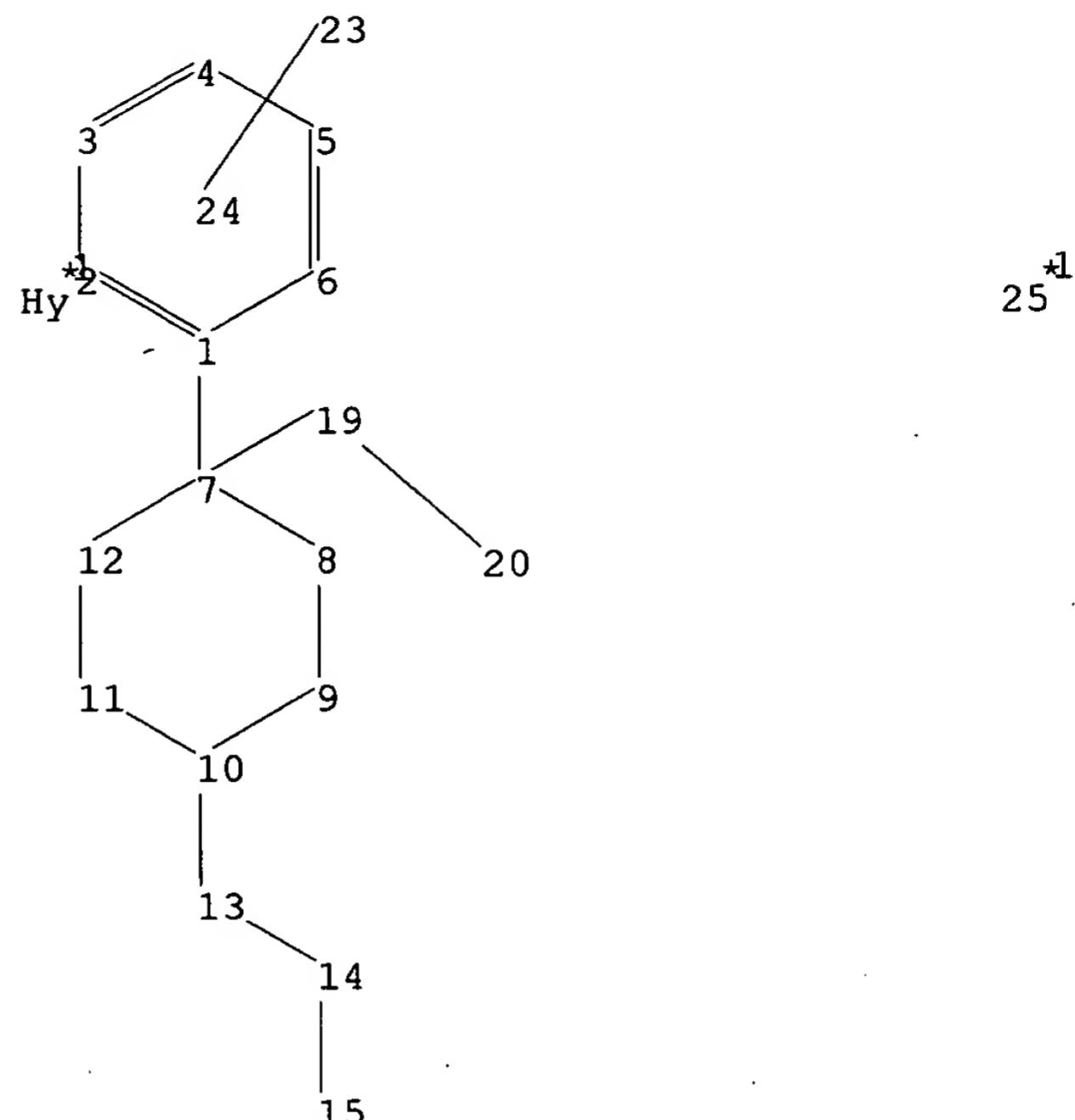
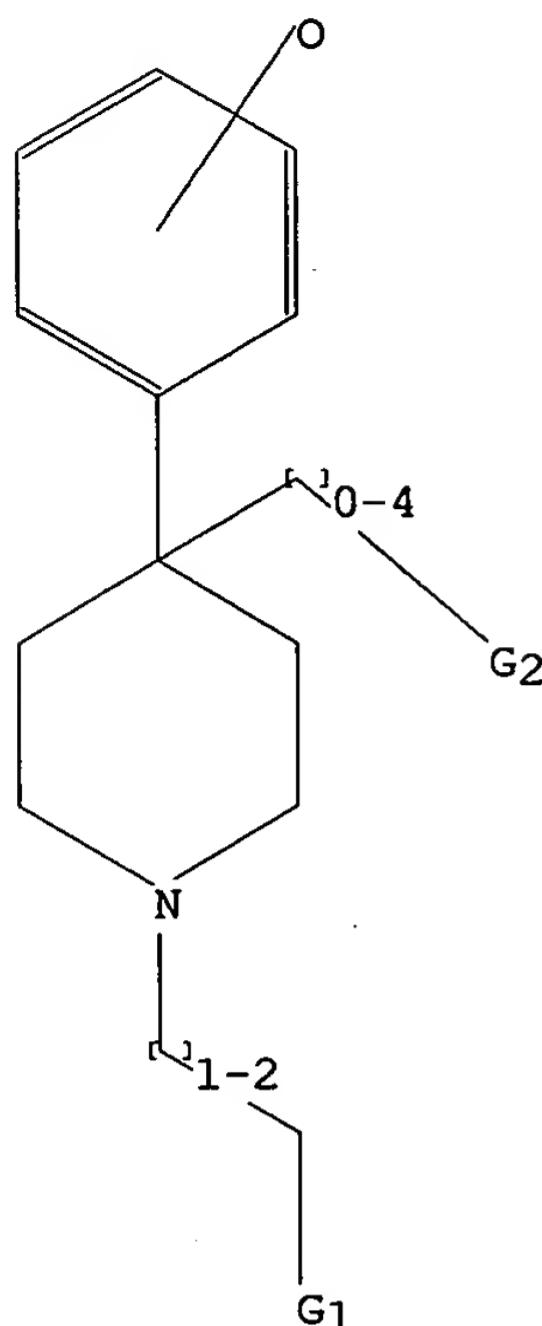
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\09755021.str



chain nodes :

13 14 15 19 20 23 25

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

1-7 7-19 10-13 13-14 14-15 19-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

10-13 14-15 19-20

exact bonds :

1-7 7-8 7-12 7-19 8-9 9-10 10-11 11-12 13-14

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 7 :

G1:O,S,N

G2:Ph, [*1]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 19:CLASS 20:CLASS 23:CLASS
 24:CLASS 25:Atom

Element Count :

Node 25: Limited

C,C5

N,N1

09/ 755,021

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sample
SAMPLE SEARCH INITIATED 16:37:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3012 TO ITERATE

33.2% PROCESSED 1000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 56949 TO 63531
PROJECTED ANSWERS: 1 TO 164

L2 1 SEA SSS SAM L1

=> s 11 full
FULL SEARCH INITIATED 16:37:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 61895 TO ITERATE

100.0% PROCESSED 61895 ITERATIONS 40 ANSWERS
SEARCH TIME: 00.00.02

L3 40 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
161.33 161.54

FILE 'CAPLUS' ENTERED AT 16:38:02 ON 05 MAY 2005
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FILE COVERS 1907 - 5 May 2005 VOL 142 ISS 19
FILE LAST UPDATED: 4 May 2005 (20050504/ED)

09/ 755,021

New CAS Information Use Policies, enter HELP USAGETERMS for details.

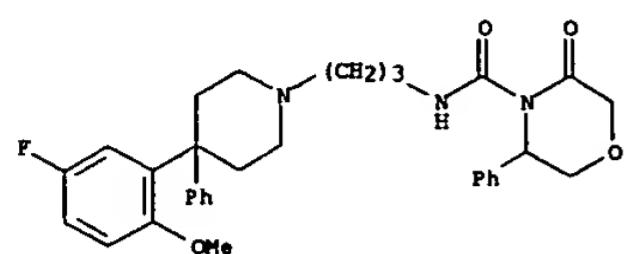
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d 13

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L3 ANSWER 1 OF 40 REGISTRY COPYRIGHT 2005 ACS on STN
RN 771463-77-5 REGISTRY
ED Entered STN: 28 Oct 2004
CN 4-Morpholinecarboxamide, N-[3-[4-(5-fluoro-2-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-3-oxo-5-phenyl-, (-)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H36 F N3 O4
CI COM
SR CA

Rotation (-).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

09/ 755,021

=> d 11
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 13
L4 12 L3

=> d 14 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 12 ANSWERS - CONTINUE? Y/ (N) :y

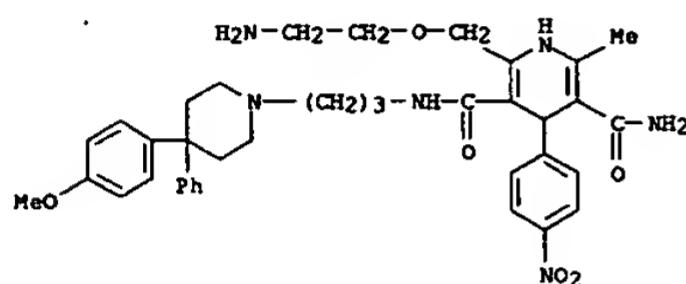
L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2003:645688 CAPLUS
 DOCUMENT NUMBER: 140:138709
 TITLE: Self-organizing molecular field analysis on
α-adrenoceptor dihydropyridine antagonists
 AUTHOR(S): Li, Minyong; Du, Lupei; Wu, Bin; Xia, Lin
 CORPORATE SOURCE: Department of Medicinal Chemistry, China
 Pharmaceutical University, Nanjing, 210009, Peop. Rep. China
 SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(18), 3945-3951
 PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Self-organizing mol. field anal. (SOMFA), a new three-dimensional quant. structure-activity relationship (3-D-QSAR) method is used to study the correlation between the mol. properties and the *α*-AR biol. activities of dihydropyridine derivs. The statistical result, cross-validated q^2 (0.690) and non cross-validated r^2 (0.704) values, show a good predictive ability.

IT 166808-19-1
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (self-organizing mol. field anal. on *α*-adrenoceptor dihydropyridine antagonists)

RN 166808-19-1 CAPLUS

CN 3,5-Pyridinedicarboxamide, 2-[(2-aminoethoxy)methyl]-1,4-dihydro-N3-[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-6-methyl-4-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2001:560064 CAPLUS
 DOCUMENT NUMBER: 135:137519
 TITLE: Preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as *α*lc antagonists

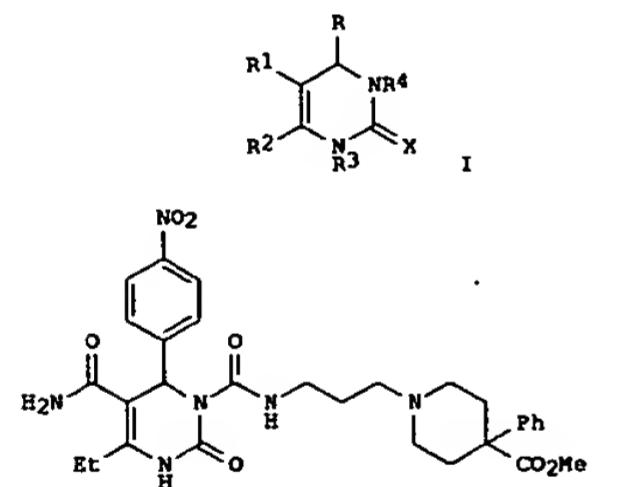
INVENTOR(S): Nagarathnam, Dhanapalan; Chiu, George; Dhar, T. G.; Murali, Wong, Wai C.; Marzabadi, Mohammad R.; Gluchowski, Charles; Lagu, Bharat; Miao, Shou Wu
 PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corp., USA
 SOURCE: U.S., 67 pp., Cont.-in-part of U. S. Ser. No. 340,611, abandoned.

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|---|----------|-----------------|-------------|
| US 6268369 | B1 | 20010731 | US 1997-836628 | 19970516 |
| WO 9614846 | A1 | 19960523 | WO 1995-US15025 | 19951116 |
| | W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| US 6248747 | B1 | 20010619 | US 1999-291553 | 19990414 |
| US 6727257 | B1 | 20040427 | US 2000-730455 | 20001205 |
| | US 1994-340611 | | US 1994-340611 | B2 19941116 |
| | WO 1995-US15025 | | WO 1995-US15025 | W 19951116 |
| | US 1997-836628 | | US 1997-836628 | A1 19970516 |
| | US 1997-978682 | | US 1997-978682 | A3 19971126 |

OTHER SOURCE(S): MARPAT 135:137519
 GI

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

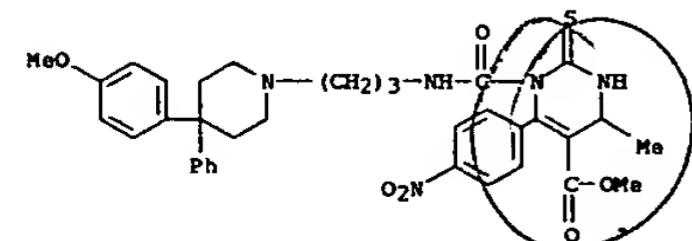


AB Title compds. [e.g., I; R = (un)substituted (hetero)aryl; R1 = H, (fluoro)alkyl, cyano, CO2R3, etc.; R2 = H, alkyl, OR3, etc.; R3 = H, (fluoro)alkyl, etc.; R4 = e.g., (4-arylpiperidinopropyl)carbamoyl; X = O, S, (alkyl)imino] and analogs thereof were prepared. Over 60 synthetic examples were provided. Thus 1,6-dihydro-5-(cyanoethoxycarbonyl)-4-ethyl-6-(4-nitrophenyl)-2-methoxypyrimidine (prepared in 3 steps) was treated with 4-nitrophenylchloroformate (acylation at N1) followed by the corresponding substituted piperidine to give the N1 carboxamide intermediate. The cyanoethoxycarbonyl function was saponified and converted to the 5-carboxamido derivative II. Thus, title compound II had pKa of 9.74 for binding at human *α*lc receptors in vitro. Treatment of benign prostatic hyperplasia is a claimed use of the invention.

IT 179480-91-29 179480-95-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as *α*lc antagonists)

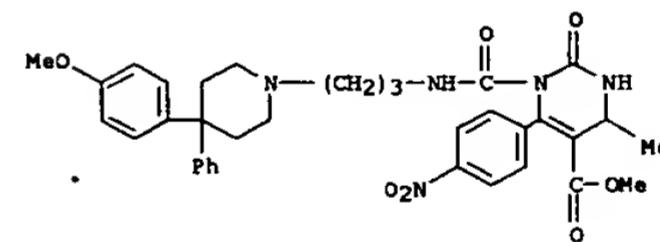
RN 179480-91-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,4-tetrahydro-1-[[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



RN 179480-95-6 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 1,2,3,4-tetrahydro-1-[[3-[4-(4-

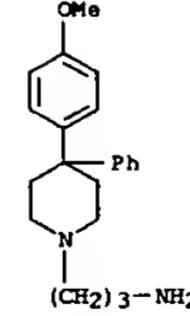
L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT 166809-56-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as *α*lc antagonists)

RN 166809-56-9 CAPLUS

CN 1-Piperidinopropanamine, 4-(4-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)

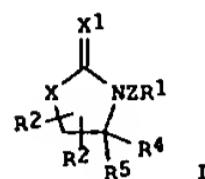


REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2000:874202 CAPLUS
 DOCUMENT NUMBER: 134:29410
 TITLE: Preparation of oxazolidinones and related compounds as adrenergic α 1A receptor antagonists
 INVENTOR(S): Lagu, Bharat; Dhar, Tg Murali; Nagarathnam, Dhanapalan; Jeon, Yoon T.; Marzabadi, Mohammad R.; Wong, Wai C.; Gluchowski, Charles
 PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
 SOURCE: U.S., 74 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 6159990 | A | 20001212 | US 1998-99225 | 19980617 |
| US 6620815 | B1 | 20030916 | US 2000-636518 | 20000810 |
| PRIORITY APPLN. INFO.: | | | US 1997-50096P | P 19970618 |
| | | | US 1998-99225 | A1 19980617 |

OTHER SOURCE(S): MARPAT 134:29410
 GI

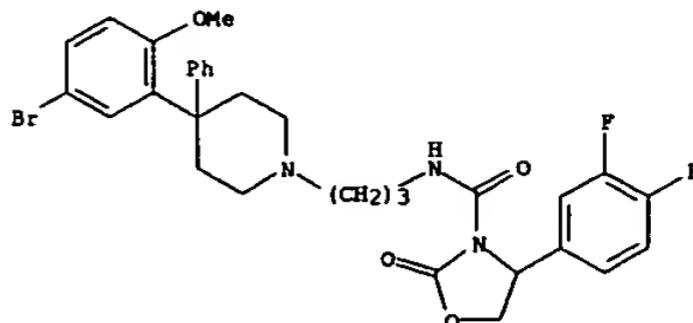


AB Title compds. [I; X = O, S; X1 = O, S, NH; R2 = H, $(CH_2)_rKR_3$, CO_2R_3 , alkyl, aminoalkyl, alkenyl, alkynyl, etc.; r = 1-4; R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl; R4 = (substituted) aryl, heteroaryl, aralkyl, heteroarylalkyl, etc.; R5 = H, (substituted) aryl, aralkyl, heteroarylalkyl, heteroaryl, adjacent R2R5 = aryl, heteroaryl, indanyl, tetrahydronaphthyl, cycloalkyl, heterocyclyl; Z = (substituted) acyl, alkenyl linker; R1 = (substituted) arylpiperidinyl, arylpiperazinyl, etc.], were prepared. Thus, 4-(3,4-difluorophenyl)oxazolidin-2-one was stirred with NaH in THF/BMPA followed by addition of 1,5-dibromopentane to give 50% 4-(3,4-difluorophenyl)-1-(5-bromopentyl)oxazolidin-2-one. This was refluxed with K_2CO_3 and 1-(2-methoxyphenyl)piperazine in dioxane to give 88% 4-(3,4-difluorophenyl)-3-[5-(4-(2-methoxyphenyl)piperazin-1-yl)pentyl]oxazolidin-2-one. The latter bound to human α 1A, α 1D, α 1B receptors with K_i = 0.5, 11, and 21, resp.

IT 218449-46-8P 218449-47-9P 218451-05-9P
 218451-09-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of oxazolidinones and related compds. as adrenergic α 1A receptor antagonists)

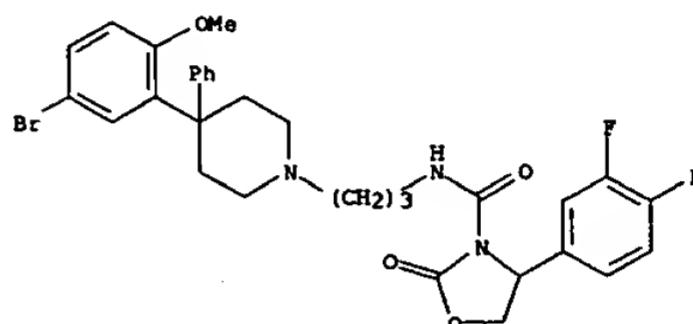
L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 218449-46-8 CAPLUS
 CN 3-Oxazolidinecarboxamide, N-[3-[4-(5-bromo-2-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-4-(3,4-difluorophenyl)-2-oxo-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 218449-47-9 CAPLUS
 CN 3-Oxazolidinecarboxamide, N-[3-[4-(5-bromo-2-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-4-(3,4-difluorophenyl)-2-oxo-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

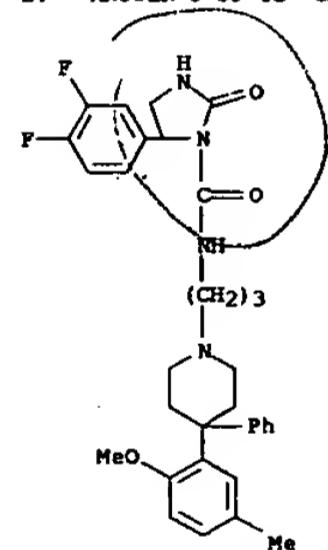
Rotation (+).



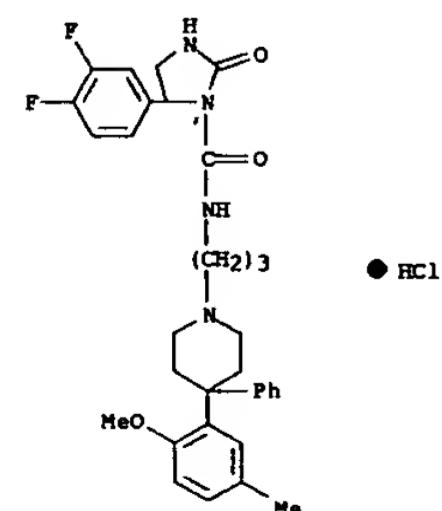
● HCl

RN 218451-05-9 CAPLUS
 CN 1-Imidazolidinecarboxamide, 5-(3,4-difluorophenyl)-N-[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]-2-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

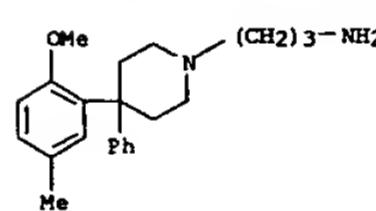


RN 218451-09-3 CAPLUS
 CN 1-Imidazolidinecarboxamide, 5-(3,4-difluorophenyl)-N-[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

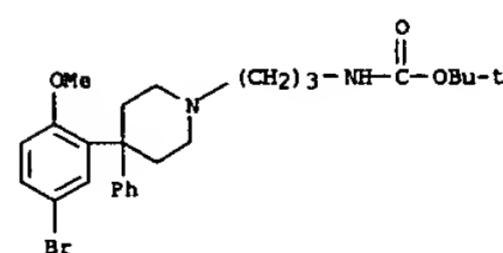


IT 216311-08-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of oxazolidinones and related compds. as adrenergic α 1A receptor antagonists)
 RN 216311-08-9 CAPLUS
 CN 1-Piperidinepropanamine, 4-(5-bromo-2-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)

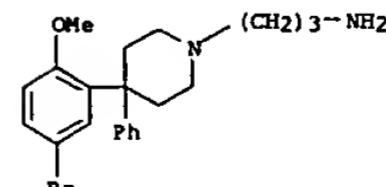
L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



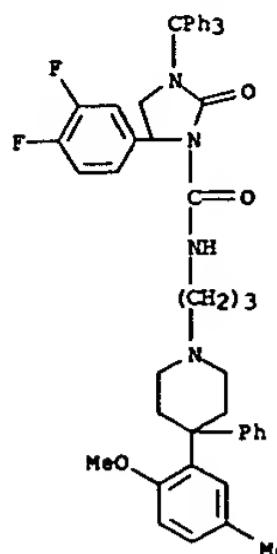
IT 218449-44-6P 218449-45-7P 218451-03-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of oxazolidinones and related compds. as adrenergic α 1A receptor antagonists)
 RN 218449-44-6 CAPLUS
 CN Carbamic acid, [3-[4-(5-bromo-2-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 218449-45-7 CAPLUS
 CN 1-Piperidinepropanamine, 4-(5-bromo-2-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)



RN 218451-03-7 CAPLUS
 CN 1-Imidazolidinecarboxamide, 5-(3,4-difluorophenyl)-N-[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]-2-oxo-3-(triphenylmethyl)- (9CI) (CA INDEX NAME)

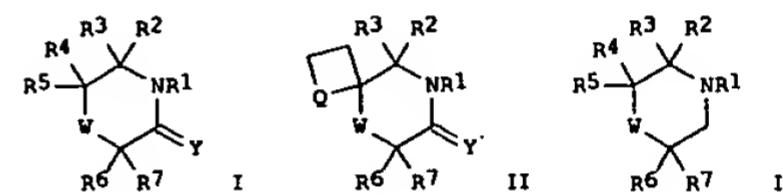


REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|--|-----------------|-------------|
| WO 2000035891 | A1 | 20000622 | WO 1999-US30259 | 19991217 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, KE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | |
| US 6218390 | B1 | 20010417 | US 1998-213539 | 19981217 |
| CA 2355201 | AA | 20000622 | CA 1999-2355201 | 19991217 |
| EP 1140876 | A1 | 20011010 | EP 1999-966439 | 19991217 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002532480 | T2 | 20021002 | JP 2000-588152 | 19991217 |
| AU 770520 | B2 | 20040226 | AU 2000-21973 | 19991217 |
| US 6362182 | B1 | 20020326 | US 2000-702015 | 20001030 |
| US 2002068737 | A1 | 20020606 | US 2001-17263 | 20011214 |
| US 6531471 | B2 | 20030311 | | |
| US 2003212062 | A1 | 20031113 | US 2003-386083 | 20030311 |
| PRIORITY APPLN. INFO.: | | | US 1998-213539 | A 19981217 |
| | | | WO 1999-US30259 | W 19991217 |
| | | | US 2000-702015 | A1 20001030 |
| | | | US 2001-17263 | A1 20011214 |

OTHER SOURCE(S): MARPAT 133:58807

GI



AB Title compds. [I; II; III; W = O, S, NR8; R8 = H, alkyl, alkenyl, alkynyl,

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
cycloalkyl, cycloalkenyl; Y = O, S; R1 = specified (substituted) piperidinylalkylaminocarbonyl, piperazinylalkylaminocarbonyl, etc.; R2 = (substituted) aryl, heteroaryl; R3 = H, alkyl, fluoroalkyl, polyfluoroalkyl; R4-R7 = H, (CH2)tYR8, (CH2)tCO2R8, (CH2)tCN, alkyl, alkenyl, alkynyl, cycloalkyl, (substituted) Ph, PhCH2, etc.; Q = (CH2)0-4; Y = O, S], were prepd. Thus, (+)-3-(3,4-difluorophenyl)-5-oxomorpholine-4-carboxylic acid 4-nitrophenyl ester (prepn. given) and 3-(4-(5-fluoro-2-methoxyphenyl)-4-phenylpiperidin-1-yl)propylamine were stirred at room temp. overnight in THF to give (+)-3-(3,4-difluorophenyl)-5-oxomorpholine-4-carboxylic acid 3-[4-(5-fluoro-2-methoxyphenyl)-4-phenylpiperidin-1-yl]propylamide. The latter bound to human α 1a receptors with K_i = 1.6 nM.

IT 277295-67-7P 277295-79-1P 277295-81-5P

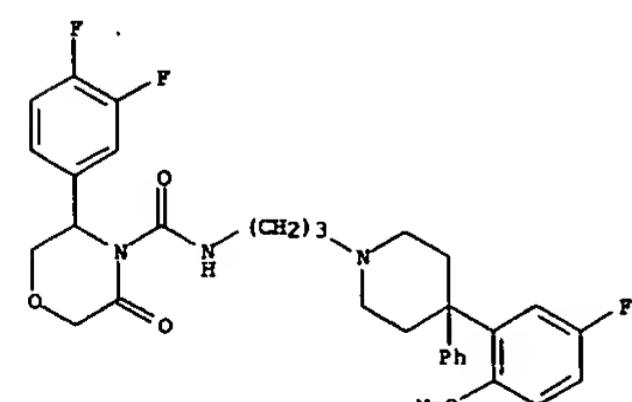
277296-20-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of morpholine derivs. as selective antagonists of α 1a receptors)

RN 277295-67-7 CAPLUS

CN 4-Morpholinocarboxamide, 3-(3,4-difluorophenyl)-N-[3-[4-(5-fluoro-2-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-5-oxo-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

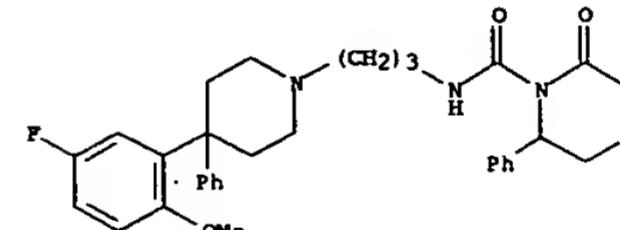


● HCl

RN 277295-79-1 CAPLUS

CN 4-Morpholinocarboxamide, N-[3-[4-(5-fluoro-2-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-3-oxo-5-phenyl-, monohydrochloride, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

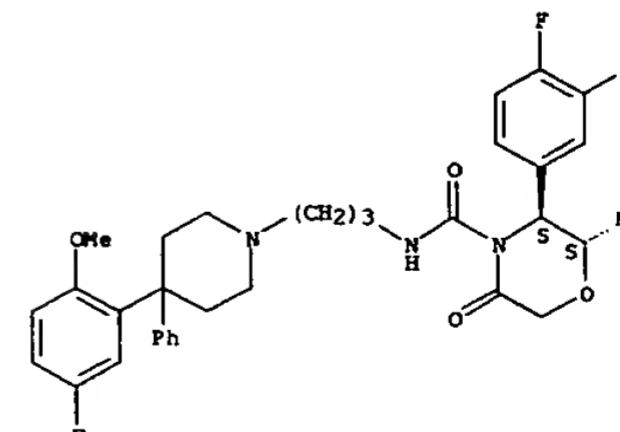


● HCl

RN 277295-81-5 CAPLUS

CN 4-Morpholinocarboxamide, 3-(3,4-difluorophenyl)-N-[3-[4-(5-fluoro-2-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-2-methyl-5-oxo-, monohydrochloride, (2R,3R)-rel- (+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

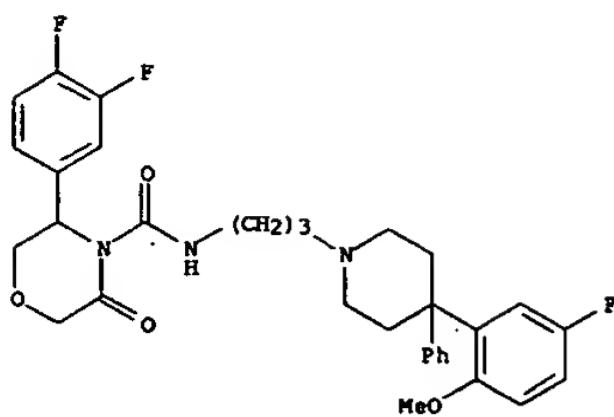


● HCl

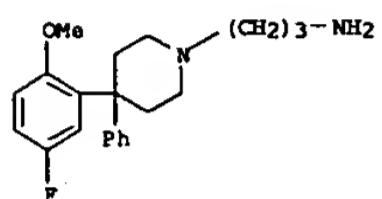
RN 277296-20-5 CAPLUS

CN 4-Morpholinocarboxamide, 3-(3,4-difluorophenyl)-N-[3-[4-(5-fluoro-2-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-5-oxo-, (+)- (9CI) (CA INDEX NAME)

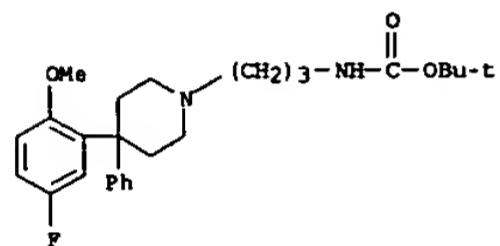
Rotation (+).



IT 277295-95-1P 277296-11-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of morpholine derivs. as selective antagonists of α 1a receptors)
RN 277295-95-1 CAPLUS
CN 1-Piperidinopropanamine, 4-(5-fluoro-2-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)

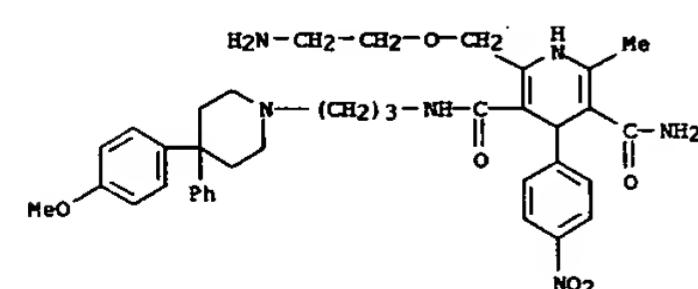


RN 277296-11-4 CAPLUS
CN Carbamic acid, [3-(4-(5-fluoro-2-methoxyphenyl)-4-phenyl-1-piperidinyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

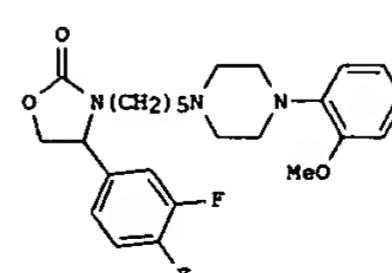
L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:662323 CAPLUS
DOCUMENT NUMBER: 132:44482
TITLE: Design and synthesis of novel dihydropyridine alpha-1A antagonists
AUTHOR(S): Marzabadi, Mohammad R.; Hong, Xingfang; Nagarathnam, Dhanapalan; Miao, Shouwu; Chiu, George; Wong, Wai C.; Wetzel, John M.; Fang, James; Forray, Carlos; Chen, Tsing B.; O'Malley, Stacey S.; Chang, Raymond S. L.; Gluchowski, Charles
CORPORATE SOURCE: Department of Chemistry, Synaptic Pharmaceutical Corporation, Paramus, NJ, 07652, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(19), 2843-2848
CODEN: BMCLB8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of analogs of SNAP 5150 containing heteroatoms at C2 or C6 positions is described. Herein, the authors report that the presence of alkyl substituted heteroatoms at the C2(6)-positions of the dihydropyridine are well tolerated. In addition, SNAP 5399 inhibited the phenylephrine induced contraction of dog prostate tissue with a K_b of 1.5 nM and showed a K_b (DBP, dogs, μ g/kg)/ K_b (IUP, dogs, μ g/kg) ratio of 14.8/2.5.
IT 166808-19-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(design and synthesis of novel dihydropyridine alpha-1A antagonists in relation to structure and inhibition of prostate contraction and bioavailability)
RN 166808-19-1 CAPLUS
CN 3,5-Pyridinedicarboxamide, 2-[(2-aminoethoxy)methyl]-1,4-dihydro-N3-[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-6-methyl-4-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

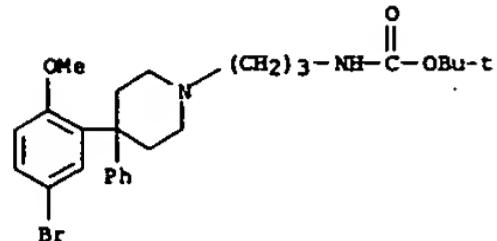
L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:9823 CAPLUS
DOCUMENT NUMBER: 130:81508
TITLE: Heterocyclic substituted oxazolidinones for use as selective antagonists for human α 1A receptors
INVENTOR(S): Lagu, Bharat; Dhar, T. G. Murali; Nagarathnam, Dhanapalan; Jeon, Yoon T.; Marzabadi, Mohammad R.; Wong, Wai C.; Gluchowski, Charles; Tian, Dake
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 258 pp.
CODEN: PIKKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 9857940 | A1 | 19981223 | WO 1998-US12668 | 19980617 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2294549 | AA | 19981223 | CA 1998-2294549 | 19980617 |
| AU 9881498 | A1 | 19990104 | AU 1998-81498 | 19980617 |
| AU 740064 | B2 | 20011025 | | |
| EP 988295 | A1 | 20000329 | EP 1998-931350 | 19980617 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| JP 2002505683 | T2 | 20020219 | JP 1999-504778 | 19980617 |
| PRIORITY APPLN. INFO.: | | | US 1997-877846 | A 19970618 |
| | | | WO 1998-US12668 | W 19980617 |
| OTHER SOURCE(S): | | | MARPAT 130:81508 | |
| GI | | | | |

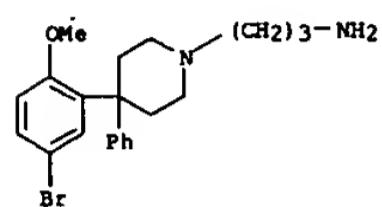


AB This invention is directed to oxazolidinone compds. which are selective antagonists for human α 1A receptors. These compds. lower intraocular pressure, inhibit cholesterol synthesis, relax lower urinary tract tissue, and are useful in the treatment of benign prostatic hyperplasia, impotency, cardiac arrhythmia etc. Thus, 4-(3,4-difluorophenyl)oxazolidinone was treated with 1,5-dibromopentane,

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 followed by 1-(2-methoxyphenyl)piperazine to give the oxazolidinone I
 which had a binding affinity for human $\alpha 1A$ receptors of 0.5 nM.
 IT 218449-44-6P 218449-45-7P 218451-03-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of heterocyclic substituted oxazolidinones for use as selective antagonists for human $\alpha 1A$ receptors)
 RN 218449-44-6 CAPLUS
 CN Carbamic acid, [3-[4-(5-bromo-2-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

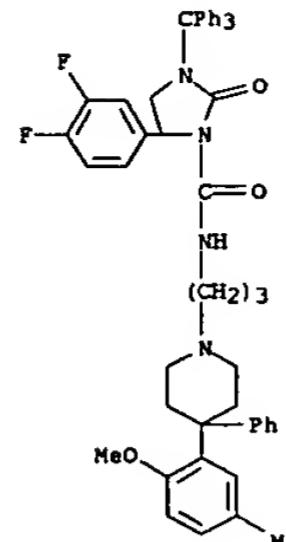


RN 218449-45-7 CAPLUS
 CN 1-Piperidinopropanamine, 4-(5-bromo-2-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)

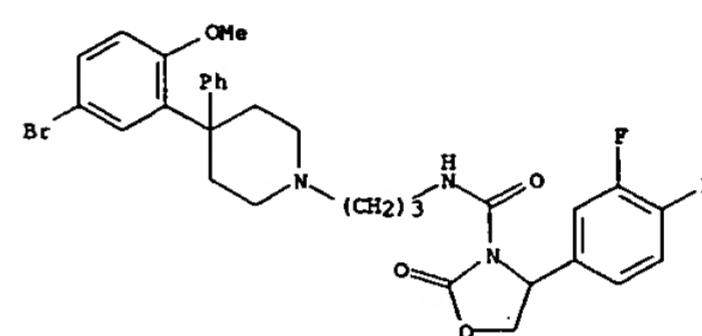


RN 218451-03-7 CAPLUS
 CN 1-Imidazolidinecarboxamide, 5-(3,4-difluorophenyl)-N-[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]-2-oxo-3-(triphenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



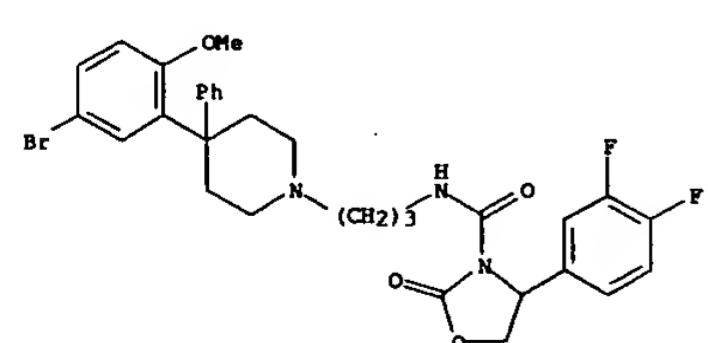
IT 218449-46-8P 218451-05-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of heterocyclic substituted oxazolidinones for use as selective antagonists for human $\alpha 1A$ receptors)
 RN 218449-46-8 CAPLUS
 CN 3-Oxazolidinecarboxamide, N-[3-[4-(5-bromo-2-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-4-(3,4-difluorophenyl)-2-oxo-, (+)- (9CI) (CA INDEX NAME)
 Rotation (+).



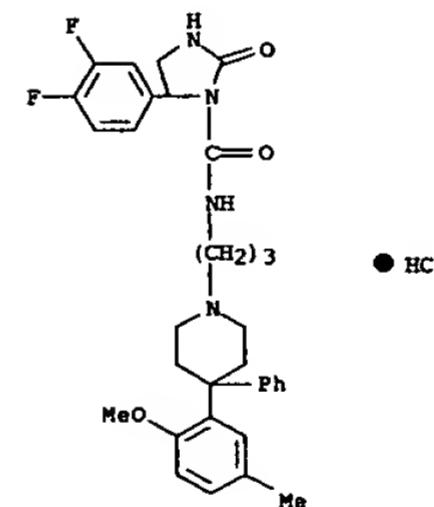
RN 218451-05-9 CAPLUS
 CN 1-Imidazolidinecarboxamide, 5-(3,4-difluorophenyl)-N-[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]-2-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT 218449-47-9P 218451-09-3P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of heterocyclic substituted oxazolidinones for use as selective antagonists for human $\alpha 1A$ receptors)
 RN 218449-47-9 CAPLUS
 CN 3-Oxazolidinecarboxamide, N-[3-[4-(5-bromo-2-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-4-(3,4-difluorophenyl)-2-oxo-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)
 Rotation (+).



L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



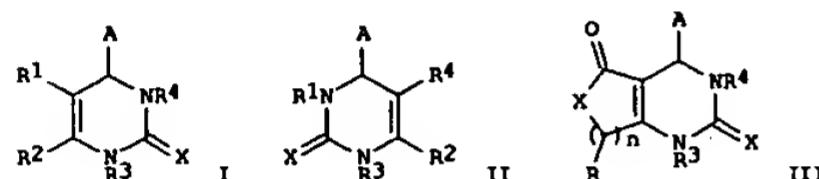
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RN 218451-09-3 CAPLUS
 CN 1-Imidazolidinecarboxamide, 5-(3,4-difluorophenyl)-N-[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1998:764290 CAPLUS
 DOCUMENT NUMBER: 130:25077
 TITLE: Preparation of piperidinylpropylaminocarbonyldihydropyrimidones and related compounds as selective adrenergic α 1A receptor antagonists.
 INVENTOR(S): Wong, Wai C.; Lagu, Bharat; Nagarathnam, Dhanapalan; Marzabadi, Mohammad R.; Gluchowski, Charles
 PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
 SOURCE: PCT Int. Appl., 314 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9851311 | A2 | 19981119 | WO 1998-US10082 | 19980515 |
| WO 9851311 | A3 | 19990114 | | |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 6245773 | B1 | 20010612 | US 1997-858017 | 19970516 |
| AU 9876872 | A1 | 19981208 | AU 1998-76872 | 19980515 |
| US 2002010186 | A1 | 20020124 | US 2001-855597 | 20010515 |
| US 1997-858017 A 19970516 | | | | |
| US 1996-17801P P 19960516 | | | | |
| WO 1998-US10082 W 19980515 | | | | |

PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 130:25077
 GI

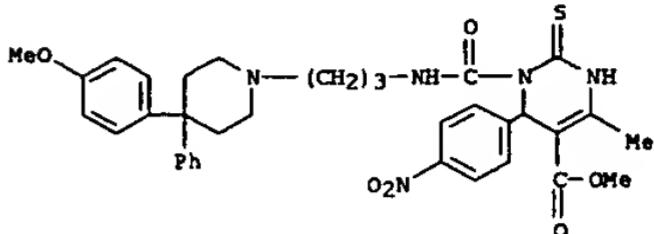


AB Title compds. [I, II, III; A = specified (substituted) (hetero)aryl; X = S, O, NR3; R1 = H, NO2, cyano, alkyl, fluoroalkyl, alkenyl, alkynyl, cycloalkyl, fluorocycloalkyl, cycloalkenyl, N(R3)2, OR3, COR3, CO2R3, CON(R3)2; R2 = H, alkyl, hydroxyalkyl, alkoxalkyl, aminoalkyl, fluorooalkyl, alkenyl, alkynyl, cycloalkyl, fluorocycloalkyl, cycloalkenyl, cycloalkylalkyl, cyano, OR3, etc.; R3 = H, alkyl, fluoroalkyl, alkenyl, alkynyl, cycloalkyl, fluorocycloalkyl, cycloalkenyl; R4 = specified substituted heterocyclylpiperidinylalkyl, etc.; n = 0-5], were prepared I are useful for lowering intraocular pressure, inhibiting cholesterol

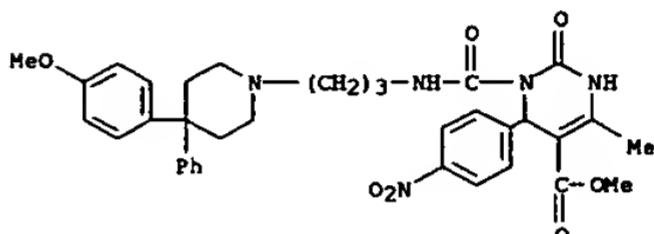
L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 synthesis, relaxing lower urinary tract tissue, treatment of benign prostatic hyperplasia, impotence, cardiac arrhythmia, etc. Thus, (+)-5-carboxamido-4-ethyl-1-[N-[3-(4-methoxycarbonyl-4-phenylpiperidin-1-yl)propyl]carboxamido-6-(4-nitrophenyl)-2-oxo-1,2,3,6-tetrahydropyrimidine (prepn. given) bound to human α 1A receptors with $pKi = 9.74$.

IT 200050-42-6P 200050-45-9P 200051-01-0P
 200051-57-6P 216310-39-3P 216311-38-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of piperidinylpropylaminocarbonyldihydropyrimidones as selective adrenergic α 1A receptor antagonists)

RN 200050-42-6 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



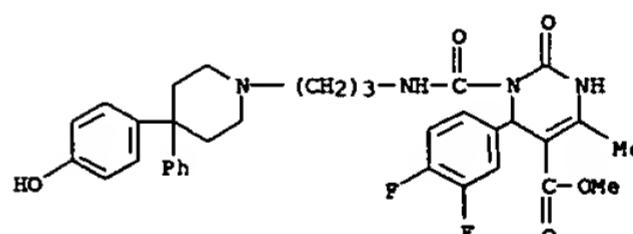
RN 200050-45-9 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-01-0 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

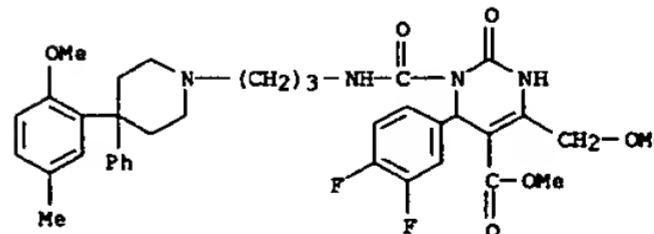
Rotation (+).

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



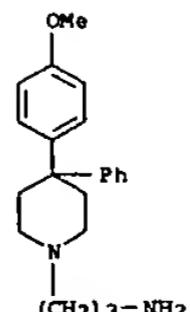
● HCl

RN 216311-38-5 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

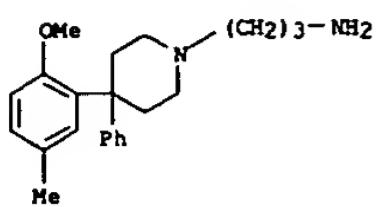


IT 166809-56-9P 216311-08-9P 216311-32-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation of piperidinylpropylaminocarbonyldihydropyrimidones as selective adrenergic α 1A receptor antagonists)

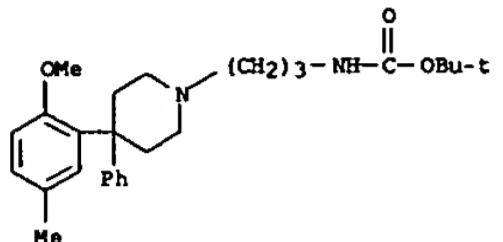
RN 166809-56-9 CAPLUS
 CN 1-Piperidinepropanamine, 4-(4-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)



RN 216311-08-9 CAPLUS
 CN 1-Piperidinepropanamine, 4-(2-methoxy-5-methylphenyl)-4-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
INDEX NAME)

RN 216311-32-9 CAPLUS
CN Carbamic acid, [3-{4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl}propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

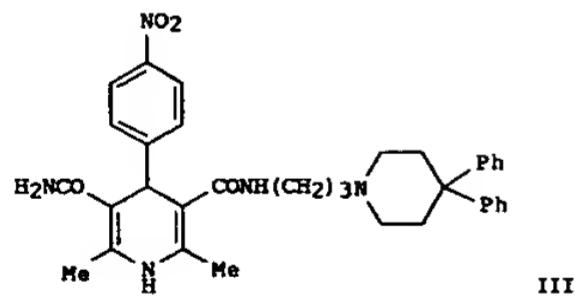
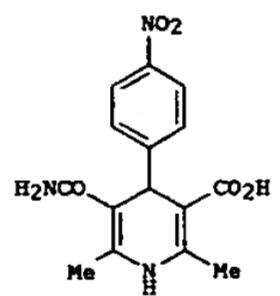
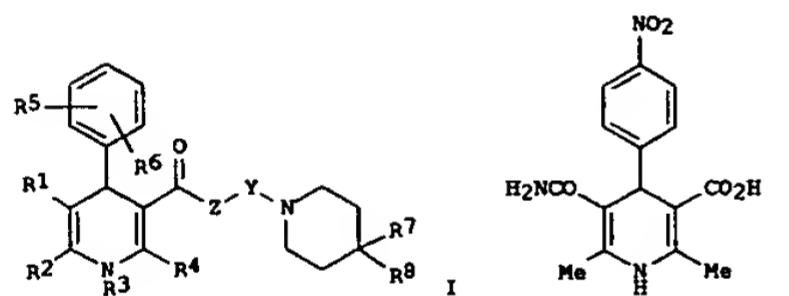


L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:414735 CAPLUS
DOCUMENT NUMBER: 129:67709
TITLE: Dihydropyridine derivatives for treatment of benign prostatic hyperplasia
INVENTOR(S): Gluchowski, Charles; Wetzel, John M.; Chiu, George; Marzabadi, Mohammed R.; Wong, Wai C.; Nagarathnam, Dhanapalan
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: U.S., 160 pp., Cont.-in-part of U.S. Ser. No. 166,367, abandoned.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|---|----------|-----------------|----------|
| US 5767131 | A | 19980616 | US 1996-211764 | 19960223 |
| WO 9422829 | A2 | 19941013 | WO 1994-US3852 | 19940405 |
| WO 9422829 | A3 | 19950105 | | |
| | W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, US, UZ, VN RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| ZA 9402360 | A | 19950522 | ZA 1994-2360 | 19940405 |
| US 6211198 | B1 | 20010403 | US 1998-98699 | 19980615 |
| US 6310076 | B1 | 20011030 | US 2000-588973 | 20000607 |
| US 2002193599 | A1 | 20021219 | US 2001-972801 | 20011005 |
| US 6608086 | B2 | 20030819 | | |
| | PRIORITY APPLN. INFO.: | | | |
| | US 1993-43212 | | B2 19930405 | |
| | US 1993-120169 | | B2 19930910 | |
| | US 1993-166367 | | B2 19931210 | |
| | WO 1994-US3852 | | W 19940405 | |
| | US 1993-166308 | | A 19931210 | |
| | US 1996-211764 | | A3 19960223 | |
| | US 1998-98699 | | A3 19980615 | |
| | US 2000-588973 | | A3 20000607 | |

OTHER SOURCE(S): MARPAT 129:67709
GI

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



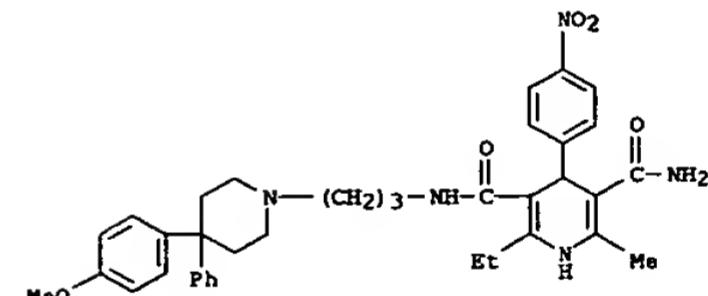
AB The dihydropyridine derivs. [I; R1 = linear or branched alkyl, alkoxyalkyl, aralkyl; R2, R4 = H, linear or branched alkyl; R3 = H, linear or branched alkyl, alkoxyalkyl, acyl; R5, R6 = H, OH, Cl, Br, F, NO2, CF3, cyano, NH2, etc.; R7, R8 = H, cyano, CF3, OH, alkoxy, etc.; Y = C1-5 alkylene, C4-8 alkylene interrupted by O, alkenylene, alkynylene, etc.; Z = O, NH, CH2], useful in treating benign prostatic hyperplasia, inhibition of cholesterol synthesis, and reduction in intraocular pressure, are prepared

and formulated. Amidation of carboxylic acid II (preparation given) with 3-(4,4-diphenylpiperidino)propylamine in refluxing CH2Cl2 gave 50.8% title compound (\pm)-III, which showed Ki of 1.9 nmol/kg. in reducing urethral pressure in vivo in dogs.

IT 166807-19-8 166807-35-8P 166807-43-8P
166807-47-2P 166808-17-9P 166808-19-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of dihydropyridine derivs. as drugs)

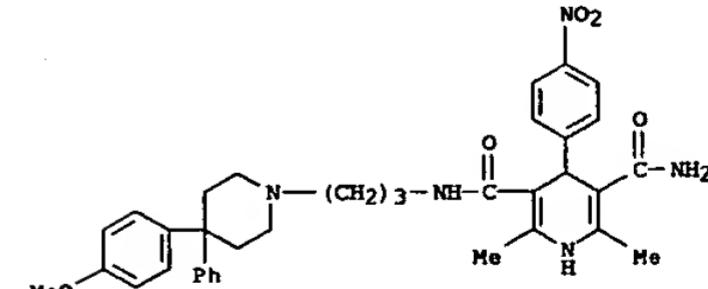
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L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



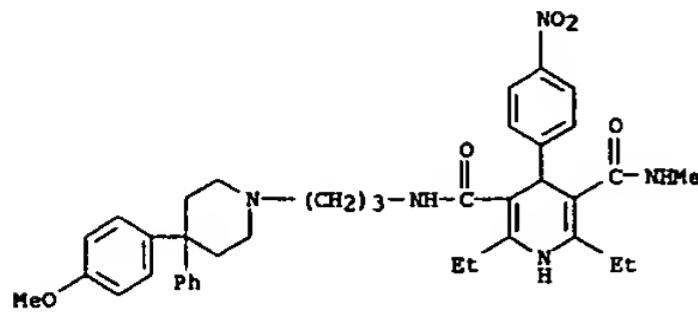
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RN 166807-35-8 CAPLUS
CN 3,5-Pyridinedicarboxamide, 1,4-dihydro-N-[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl)propyl]-2,6-dimethyl-4-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

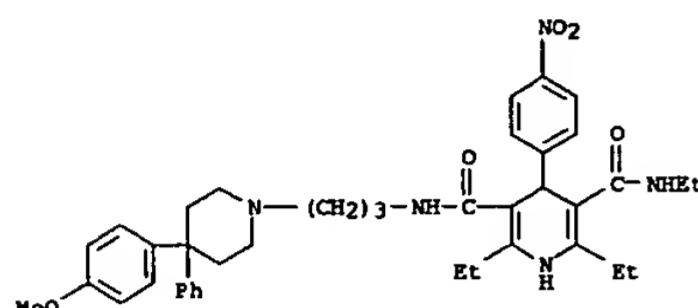


● HCl

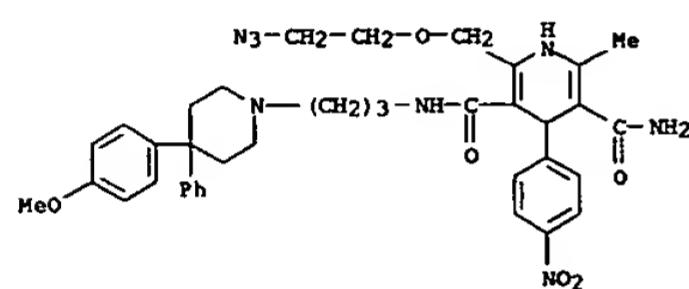
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CN 3,5-Pyridinedicarboxamide, 2,6-diethyl-1,4-dihydro-N-[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl)propyl]-N'-methyl-4-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



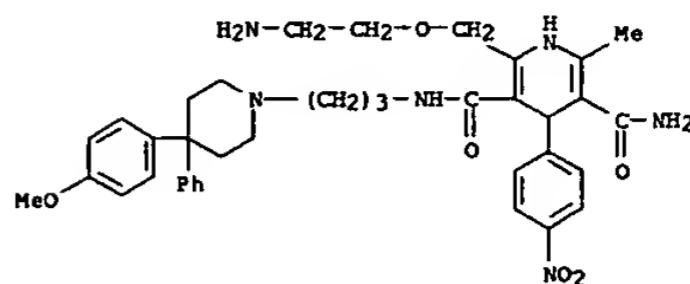
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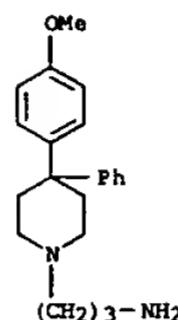
RN 166808-17-9 CAPLUS
 CN 3,5-Pyridinedicarboxamide, 2-[(2-azidoethoxy)methyl]-1,4-dihydro-N3-[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-6-methyl-4-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 166808-19-1 CAPLUS
 CN 3,5-Pyridinedicarboxamide, 2-[(2-aminoethoxy)methyl]-1,4-dihydro-N3-[3-[4-



IT 166809-56-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of dihydropyridine derivs. as drugs)
 RN 166809-56-9 CAPLUS
 CN 1-Piperidinepropanamine, 4-(4-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1997:752940 CAPLUS
 DOCUMENT NUMBER: 128:61520
 TITLE: Preparation of dihydropyrimidine derivatives as selective antagonists for human α 1A-adrenergic receptors.
 INVENTOR(S): Wong, Wai C.; Lagu, Bharat; Nagarathnam, Dhanapalan; Marzabadi, Mohammad R.; Gluchowski, Charles
 PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
 SOURCE: PCT Int. Appl., 271 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 9742956 | A1 | 19971120 | WO 1997-US8335 | 19970516 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, NX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | AA | 19971120 | CA 1997-2253862 | 19970516 |
| CA 2253862 | A1 | 19971205 | AU 1997-30082 | 19970516 |
| AU 9730082 | A1 | 19971205 | AU 1997-30082 | 19970516 |
| AU 727972 | B2 | 20010104 | | |
| JP 2000056904 | T2 | 20000606 | JP 1997-541146 | 19970516 |
| EP 1021185 | A1 | 20000726 | EP 1997-924745 | 19970516 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| US 2002010186 | A1 | 20020124 | US 2001-855597 | 20010515 |
| PRIORITY APPLN. INFO.: | | | US 1996-17801P | P 19960516 |
| | | | US 1996-648768 | A 19960516 |
| | | | US 1997-858017 | A1 19970516 |
| | | | WO 1997-US8335 | W 19970516 |

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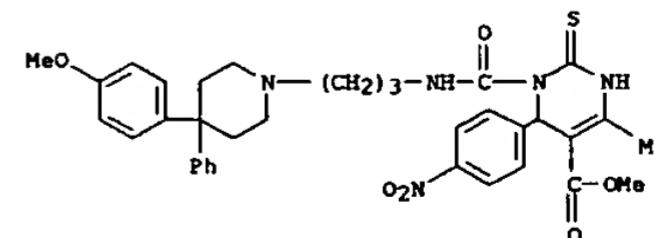
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I, II, and III: A = (un)substituted Ph, pyridyl, 1H-imidazolyl, or 1-imidazolyl, etc.; X = H, NO₂, cyano, linear or branched C1-7 alkyl, mono- or polyfluorocycloalkyl, linear or branched C2-7 alkenyl or alkynyl, C3-7 cycloalkyl, mono- or polyfluorocycloalkyl, N(R3)2, OR3, (CH₂)pOR3, COR3, CO₂R3, CO(R3)2; R2 = H, linear or branched C1-7 alkyl, hydroxymethyl, alkoxymethyl, aminoalkyl, mono- or polyfluorocycloalkyl, linear or branched C2-7 alkenyl or alkynyl, C3-7 cycloalkyl or mono- or polyfluorocycloalkyl or cycloalkenyl, C1-10 cycloalkyl-C1-10 mono- or polyfluorocycloalkyl, cyano, CH₂NR3, CH₂(CH₂)pNR3, (CH₂)_nNR3, CH₂(CH₂)p(R3)2, CH₂X(CH₂)pN3, OR3, etc.; p = 1-7; n = 0-5; R3 = H, linear or branched C1-7 alkyl, mono- or polyfluorocycloalkyl, linear or branched C2-7 alkenyl or alkynyl, C3-7 cycloalkyl or mono- or polyfluorocycloalkyl or cycloalkenyl; R4 = Q; wherein Z1 = (CH₂)_o, CO(CH₂)_o, CO(CH₂)_o; m = 0-3; n = 1-3; V = O, S, CR5R7, C(R7)2, NR7; R = H,

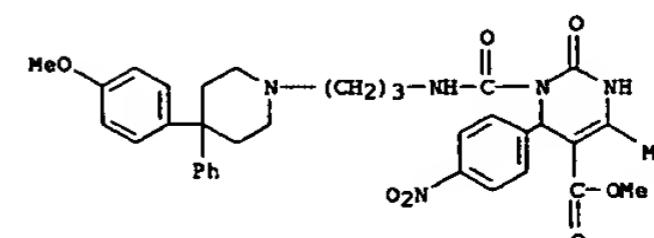
L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 F, linear or branched C1-7 alkyl, mono- or polyfluorocycloalkyl, linear or branched alkyl C2-7 alkenyl or alkynyl, N(R3)2, NO₂, etc.; RS, R7 = H, F, Cl, Br, iodo, COR3, CO₂R3, CON(R3)2, cyano, NO₂, N(R3)2, OR3, SR3, (CH₂)pOR3, (CH₂)pSR3, etc.; R6 = H, linear or branched C1-7 alkyl, hydroxymethyl, alkoxymethyl, mono- or polyfluorocycloalkyl, C3-7 cycloalkyl]. This invention is also related to uses of these compds. for lowering intraocular pressure, inhibiting cholesterol synthesis, relaxing lower urinary tract tissue, the treatment of benign prostatic hyperplasia, impotence, cardiac arrhythmia and for the treatment of any disease where the antagonism of the α 1A receptor may be useful. The invention further provides a pharmaceutical compn. comprising a therapeutically effective amt. of the above-defined compds. and a pharmaceutically acceptable carrier. Thus, a mixt. of 1-(5-chloropentyl)-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-5-methoxycarbonylpiperidine (prepn. given), 4-methoxycarbonyl-4-phenylpiperidine, K2CO₃, and NaI, and 1,4-dioxane was refluxed overnight to give IV. IV in vitro showed binding affinities at cloned human α 1A, α 1B, and α 2A receptors with pKi values of 6.17, 6.32, and 8.99, resp.

IT 200050-42-6P 200050-45-9P 200050-81-3P
 200051-01-0P 200051-57-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of dihydropyrimidine derivs. as selective antagonists for human α 1A-adrenergic receptors for disease treatment)

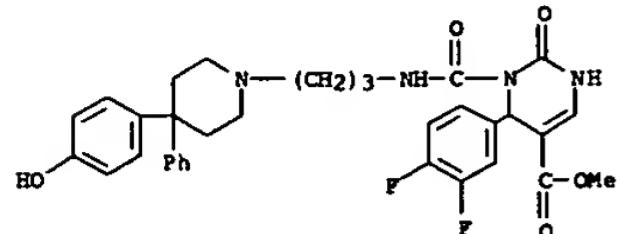
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RN 200050-45-9 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



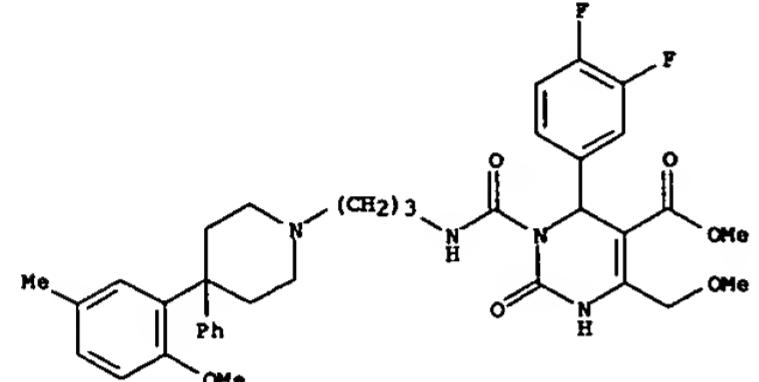
L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 200050-81-3 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[(3-[4-(4-hydroxyphenyl)-4-phenyl-1-piperidinyl]propyl)amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 200051-01-0 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[(3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl)amino]carbonyl]-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

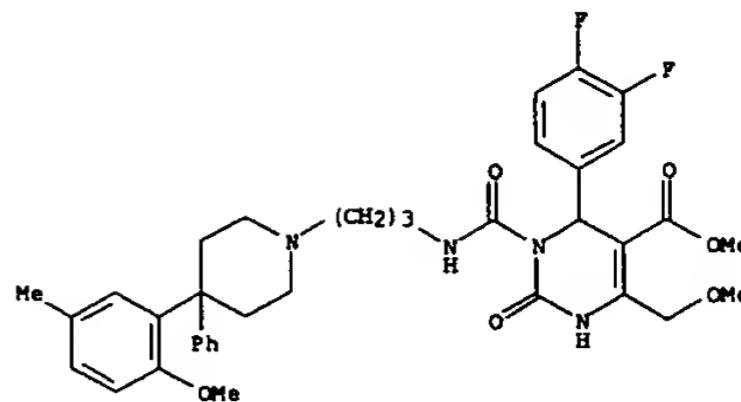
Rotation (+).



RN 200051-57-6 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[(3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl)amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

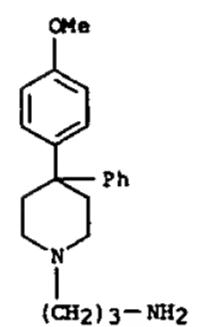
Rotation (+).

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

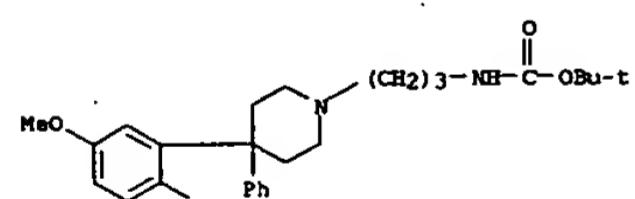
IT 166809-56-9P 200052-34-2P 200052-35-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of dihydropyrimidine derivs. as selective antagonists for human α_1 -adrenergic receptors for disease treatment)
 RN 166809-56-9 CAPLUS
 CN 1-Piperidinopropanamine, 4-(4-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)



RN 200052-34-2 CAPLUS
 CN 1-Piperidinopropanamine, 4-(5-methoxy-2-methylphenyl)-4-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 200052-35-3 CAPLUS
 CN Carbamic acid, [3-[4-(5-methoxy-2-methylphenyl)-4-phenyl-1-piperidinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

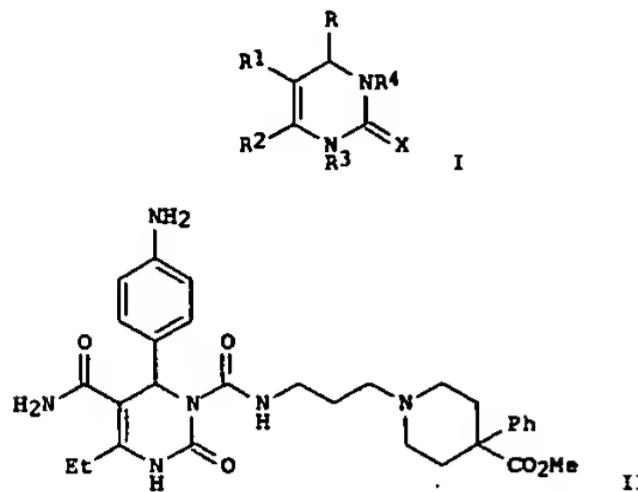


L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1996:473181 CAPLUS
 DOCUMENT NUMBER: 125:142759
 TITLE: Preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as α_1 c antagonists
 INVENTOR(S): Nagarathnam, Dhanapalan; Chiu, Georges; Dhar, T. G.; Murali, Wong, Wai C.; Marzabadi, Mohammad R.; Gluchowski, Charles; Lagu, Bharat; Miao, Shou Wu
 PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
 SOURCE: PCT Int. Appl., 229 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9614846 | A1 | 19960523 | WO 1995-US15025 | 19951116 |
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| CA 2205384 | AA | 19960523 | CA 1995-2205384 | 19951116 |
| CA 2205384 | C | 20040629 | | |
| AU 9642398 | A1 | 19960606 | AU 1996-42398 | 19951116 |
| AU 714640 | B2 | 20000106 | | |
| EP 790826 | A1 | 19970827 | EP 1995-940748 | 19951116 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CN 1173132 | A | 19980211 | CN 1995-197348 | 19951116 |
| JP 10510247 | T2 | 19981006 | JP 1996-516354 | 19951116 |
| JP 3200070 | B2 | 20010920 | | |
| BR 9509700 | A | 19981103 | BR 1995-9700 | 19951116 |
| HU 77941 | A2 | 19981228 | HU 1998-1222 | 19951116 |
| CA 2237774 | AA | 19970522 | CA 1996-2237774 | 19961115 |
| WO 9717969 | A1 | 19970522 | WO 1996-US18573 | 19961115 |
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| AU 714287 | B2 | 19991223 | | |
| ZA 9609612 | A | 19970721 | ZA 1996-9612 | 19961115 |
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| FI 9702087 | A | 19970714 | FI 1997-2087 | 19970515 |
| US 6269369 | B1 | 20010731 | US 1997-836628 | 19970516 |
| US 5942517 | A | 19990824 | US 1997-978682 | 19971126 |
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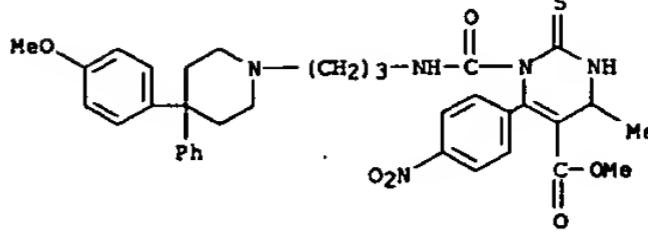
L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 PRIORITY APPLN. INFO.:
 US 6727257 B1 20040427 US 2000-730458 20001205
 US 1994-340611 A 19941116
 WO 1995-US15025 W 19951116
 US 1996-648770 A 19960516
 WO 1996-US18573 W 19961115
 US 1997-836628 A1 19970516
 US 1997-978682 A3 19971126

OTHER SOURCE(S): MARPAT 125:142759
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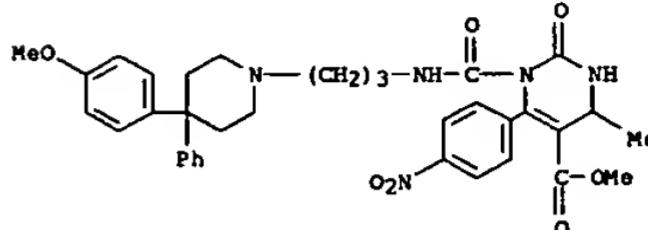


AB Title compds. [e.g., I: R = (un)substituted (hetero)aryl; R1 = H, (fluoro)alkyl, cyano, CO₂R₃, etc.; R2 = H, alkyl, OR₃, etc.; R3 = H, (fluoro)alkyl, etc.; R4 = e.g., (4-arylpiperidinopropyl)carbamoyl; X = O, S, (alkyl)imino] were prepared. Thus, title compound II had pK_i of 9.74 for binding at human α 1c receptors in vitro.
 IT 179480-91-2P 179480-95-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as α 1c antagonists)
 RN 179480-91-2 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 1,2,3,4-tetrahydro-1-[[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)

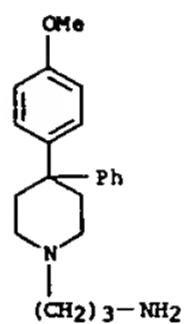
L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 179480-95-6 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 1,2,3,4-tetrahydro-1-[[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



IT 166809-56-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as α 1c antagonists)
 RN 166809-56-9 CAPLUS
 CN 1-Piperidinepropanamine, 4-(4-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)



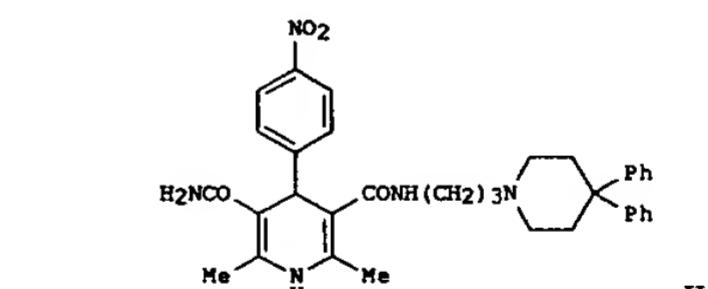
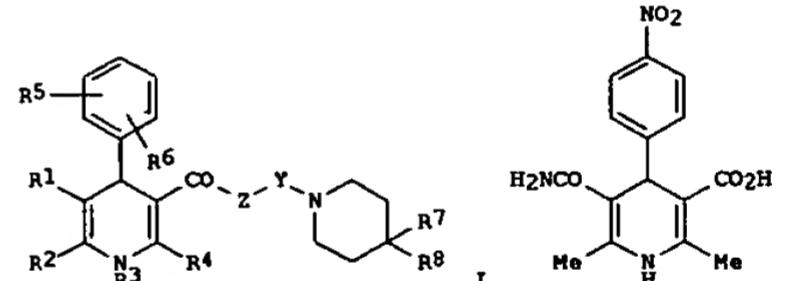
L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1995:750506 CAPLUS
 DOCUMENT NUMBER: 123:143638
 TITLE: preparation of dihydropyridine derivatives as drugs
 INVENTOR(S): Gluchowski, Charles; Wetzel, John M.; Chiu, George; Marzabadi, Mohammad R.; Wong, Wai C.; Nagarathnam, Dhanapalan
 PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corp., USA
 SOURCE: PCT Int. Appl., 760 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9422829 | A2 | 19941013 | WO 1994-US3852 | 19940405 |
| WO 9422829 | A3 | 19950105 | | |
| W: AT, AU, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, US, UZ, VN RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BE, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9464986 | A1 | 19941024 | AU 1994-64986 | 19940405 |
| ZA 9402360 | A | 19950522 | ZA 1994-2360 | 19940405 |
| US 5767131 | A | 19980616 | US 1996-211764 | 19960223 |
| US 6211198 | B1 | 20010403 | US 1998-98699 | 19980615 |
| US 6310076 | B1 | 20011030 | US 2000-588973 | 20000607 |
| US 2002193599 | A1 | 20021219 | US 2001-972801 | 20011005 |
| US 6608086 | B2 | 20030819 | | |

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 123:143638
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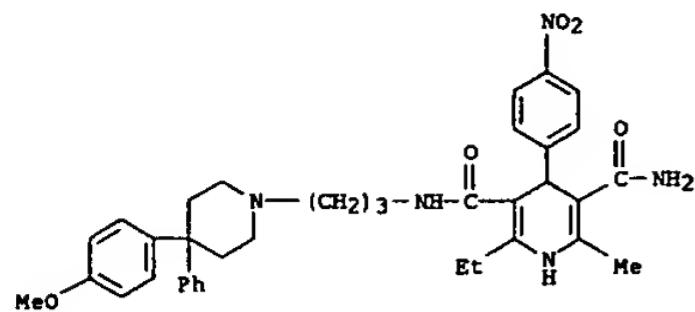
L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB Dihydropyridine derivs. [I: R1 = linear or branched alkyl, alkoxalkyl, aralkyl; R2, R4 = H, linear or branched alkyl; R3 = H, linear or branched alkyl, alkoxalkyl, acyl; R5, R6 = H, OH, Cl Br, F, NO₂ CF₃, cyano, NH₂, etc.; R7, R8 = H, cyano, CF₃, OH, alkoxy, etc.; Y = C₁-5 alkylene, C₄-8 alkylene interrupted by O, alkenylene, alkynylene, etc.; Z = O, NH, CH₂], useful in treating benign prostatic hyperplasia, inhibition of cholesterol synthesis, and reduction in intraocular pressure, are prepared and formulated.

Amidation of carboxylic acid II (preparation given) with 3-(4,4-diphenylpiperidino)propylamine in refluxing CH₂Cl₂ gave 50.8% title compound (I)-III, which showed Ki of 1.9 nmol/kg in reducing urethral pressure in vivo in dogs.

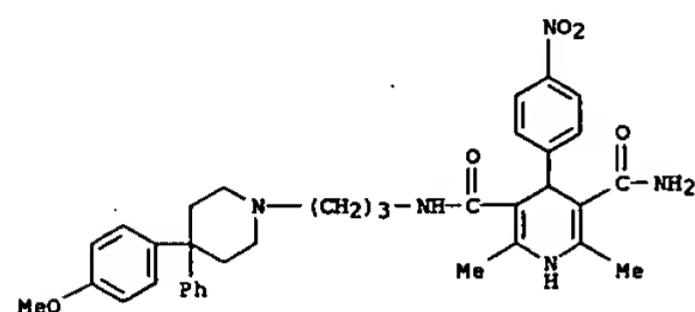
IT 166807-19-8P 166807-35-8P 166807-43-8P
 166807-47-2P 166808-17-9P 166808-19-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of dihydropyridine derivs. as drugs)
 RN 166807-19-8 CAPLUS
 CN 3,5-Pyridinedicarboxamide, 2-ethyl-1,4-dihydro-N3-[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-6-methyl-4-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 166807-35-8 CAPLUS

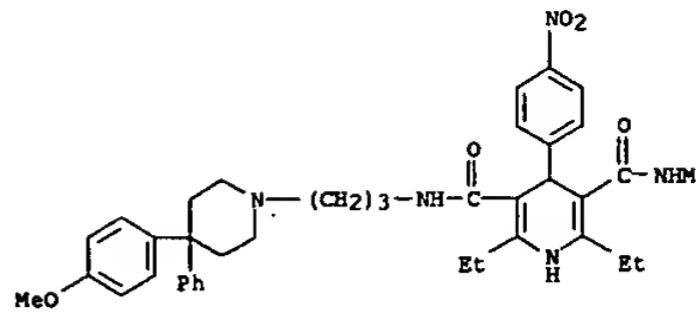
CN 3,5-Pyridinedicarboxamide, 1,4-dihydro-N-[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-2,6-dimethyl-4-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

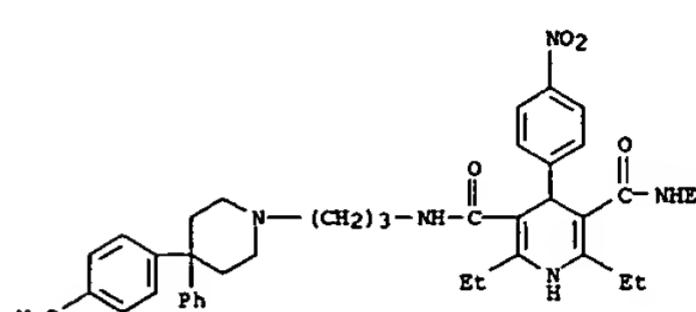
RN 166807-43-8 CAPLUS

CN 3,5-Pyridinedicarboxamide, 2,6-diethyl-1,4-dihydro-N-[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-N'-methyl-4-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



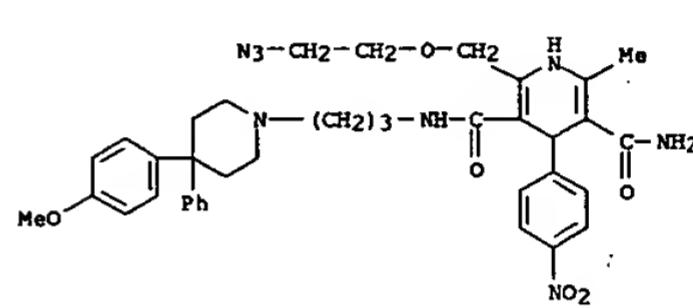
RN 166807-47-2 CAPLUS

CN 3,5-Pyridinedicarboxamide, N,2,6-triethyl-1,4-dihydro-N'-[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-4-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 166808-17-9 CAPLUS

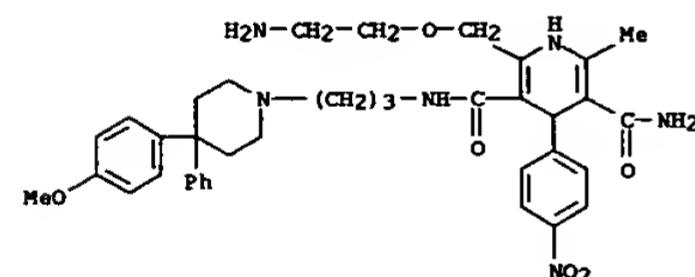
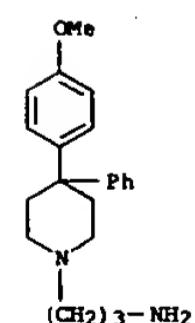
CN 3,5-Pyridinedicarboxamide, 2-[(2-azidoethoxy)methyl]-1,4-dihydro-N3-[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-6-methyl-4-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 166808-19-1 CAPLUS

CN 3,5-Pyridinedicarboxamide, 2-[(2-aminoethoxy)methyl]-1,4-dihydro-N3-[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]-6-methyl-4-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

(4-methoxyphenyl)-4-phenyl-1-piperidinyl)propyl]-6-methyl-4-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

IT 166809-56-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dihydropyridine derivs. as drugs)RN 166809-56-9 CAPLUS
CN 1-Piperidinepropanamine, 4-(4-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)

ACCESSION NUMBER: 1992:33860 CAPLUS

DOCUMENT NUMBER: 116:33860

TITLE: The metabolic fate of the antiparkinsonian drug budipine in rats

AUTHOR(S): Caputo, O.; Grossa, G.; Ceruti, M.; Rocco, F.; Biglino, G.

CORPORATE SOURCE: Ist. Chim. Farm. Appl., Univ. Torino, Turin, I-10125, Italy

SOURCE: European Journal of Drug Metabolism and Pharmacokinetics (1991), 16(2), 113-18

CODEN: EJDPD2; ISSN: 0398-7639

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The metabolic fate of the antiparkinsonian drug budipine was studied in rats after oral administration. The presence of an aromatic hydroxylation product, metabolite M1, and its O-sulfate conjugate was confirmed. Three new minor metabolites, budipine N-oxide, metabolite M1 N-oxide, and a secondary metabolite derived from M1 via hydroxylation of a Me of the tert-Bu group, were identified in urine. The presence of a metabolite, M1-glucuronic acid conjugate, was also established using different enzymic treatments of urine.

IT 138306-43-1

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(pharmacokinetics of, as budipine metabolite)

RN 138306-43-1 CAPLUS

CN 1-Piperidineethanol, 4-(4-hydroxyphenyl)- β , β -dimethyl-4-phenyl- (9CI) (CA INDEX NAME)